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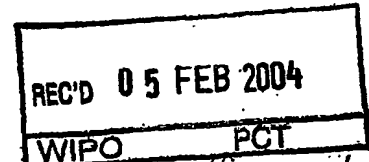
सत्यमेव जयते



INTELLECTUAL  
PROPERTY INDIA

GOVERNMENT OF INDIA  
MINISTRY OF COMMERCE & INDUSTRY,  
PATENT OFFICE, DELHI BRANCH,  
W - 5, WEST PATEL NAGAR,  
NEW DELHI - 110 008.

RU-316  
PCT/IB03/059



I, the undersigned being an officer duly authorized in accordance with the provision of the Patent Act, 1970 hereby certify that annexed hereto is the true copy of the Application, Complete Specification and Drawing Sheets filed in connection with Application for Patent No.1262/Del/02 dated 16<sup>th</sup> December 2002.

Witness my hand this 13<sup>th</sup> day of January 2004.

  
(S.K. PANGASA)

Assistant Controller of Patents & Designs

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1262-02

FORM 1

16 DEC 2002

THE PATENTS ACT, 1970  
(39 of 1970)

APPLICATION FOR GRANT OF A PATENT

(See Sections 7, 54 and 135 and rule 33A)

1. We, **RANBAXY LABORATORIES LIMITED**, a Company incorporated under the Companies Act, 1956, Corporate Office at 19, Nehru Place, New Delhi - 110 019, India
2. hereby declare -
- (a) that we are in possession of an invention titled **"COMMERCIAL PROCESS FOR THE SYNTHESIS OF CYCLOPROPYL KETO  $\alpha,\alpha$ -DIMETHYLPHENYL ACETIC ACID - AN USEFUL INTERMEDIATE FOR THE PREPARATION OF FEXOFENADINE"**
- (b) that the Complete Specification relating to this invention is filed with this application.
- (c) that there is no lawful ground of objection to the grant of a patent to us.
3. Further declare that the inventors for the said invention are
- a. **MUKESH KUMAR SHARMA**
- b. **CHANDRA HAS KHANDURI**
- c. **NARESH KUMAR**
- of Ranbaxy Laboratories Limited, Plot No. 20, Sector-18, Udyog Vihar Industrial Area, Gurgaon - 122001 (Haryana), India, all Indian Nationals.
4. That we are the assignee or legal representatives of the true and first inventors.
5. That our address for service in India is as follows:

**DR. B. VIJAYARAGHAVAN**  
Associate Director - Intellectual Property  
Ranbaxy Laboratories Limited  
Plot No.20, Sector - 18,  
Udyog Vihar Industrial Area,  
Gurgaon - 122001 (Haryana).  
INDIA.  
Tel. No. (91-124) 2343126, 2342001 - 10  
Fax No. (91-124) 2342027

FORM 2

1262-02

The Patents Act, 1970  
(39 of 1970)

16 DEC 2002

**COMPLETE SPECIFICATION**  
( See Section 10 )

**COMMERCIAL PROCESS FOR THE SYNTHESIS  
OF CYCLOPROPYL KETO  $\alpha, \alpha$ -  
DIMETHYLPHENYL ACETIC ACID - AN USEFUL  
INTERMEDIATE FOR THE PREPARATION OF  
FEXOFENADINE**

**RANBAXY LABORATORIES LIMITED**  
**19, NEHRU PLACE, NEW DELHI - 110019**

*A Company incorporated under the Companies Act, 1956.*

**The following specification particularly describes and ascertains the nature of  
this invention and the manner in which it is to be performed:**

In accordance with the present invention the process for preparing the cyclopropyl keto  $\alpha, \alpha$ -dimethylphenyl acetic acid comprises, treating 4-(cyclopropyloxomethyl)-2,2-dimethylphenethyl alcohol with hydroxides of alkali metal, and adding oxidizing agent to the obtained suspension.

Hydroxide of alkali metal is selected from lithium hydroxide, sodium hydroxide, and potassium hydroxide. Most preferred is sodium hydroxide.

A preferred embodiment of the present invention comprises treating, treating 4-(cyclopropyloxomethyl)-2,2-dimethylphenethyl alcohol with hydroxides of alkali metals, at room temperature, and adding potassium permanganate in small lots.

A further aspect of the present invention comprises adding organic solvent to the solution, after the oxidation is completed, and filtering off the inorganic solid. The non-acidic impurities from the filtrate are removed by washing with chlorinated hydrocarbons, and the product is isolated from the aqueous layer after acidification.

Organic solvent comprises ketones, chlorinated hydrocarbons, or mixtures thereof.

Ketones are selected from acetone, ethyl methyl ketone, methyl iso-butyl ketone, or mixtures thereof. Most preferred is acetone.

Chlorinated hydrocarbons are selected from chloroform, dichloromethane, 1,2-dichloroethane, or mixtures thereof.

In the following section preferred embodiments are described by a way of example to illustrate the process of the invention. However, these are not intended in any way to limit the scope of the claims.

**WE CLAIM:**

- As amended*  
*with 1/2 2/6*
- 1/2 reaction*  
*per a step*
1. A process for the preparation of cyclopropyl keto  $\alpha, \alpha$ -dimethylphenyl acetic acid of structural Formula I, as shown in the accompanied drawings comprises, treating 4-(cyclopropyloxomethyl)-2,2-dimethylphenethyl alcohol of structural Formula III, as shown in the accompanied drawings with hydroxides of alkali metals.
  2. The process of claim 1 wherein the hydroxide of alkali metal is selected from lithium hydroxide, sodium hydroxide, potassium hydroxide.
  3. The process of claim 2 wherein the alkali metal hydroxide is sodium hydroxide.
  4. The process of claim 1 further comprises, subjecting the reaction mixture to oxidation with potassium permanganate.
  5. The process of claim 1 further comprises, adding organic solvent to the solution, after the oxidation is completed.
  6. The process of claim 5 wherein organic solvent is selected from chlorinated hydrocarbons, ketones, or mixtures thereof.
  7. The process of claim 6 wherein ketone is selected from acetone, methyl ethyl ketone, methyl iso-butyl ketone, or mixtures thereof.
  8. The process of claim 7 wherein ketone selected is acetone.
  9. The process of claim 5 further comprises, filtering the solid and washing the filtrate with chlorinated hydrocarbon selected from dichloromethane, chloroform, 1,2-dichloroethane or mixtures thereof.
- you'd*  
*be*  
*capable*  
*of 10-1*

Ranbaxy Laboratories Limited

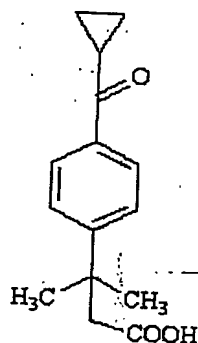
Application No.

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Sheet 01 of 03

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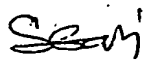
16 DEC 2002



FORMULA I

DUPLICATE

For Ranbaxy Laboratories Limited

  
(Sushil Kumar Patawari)  
Company Secretary

Ranbaxy Laboratories Limited

Application No.

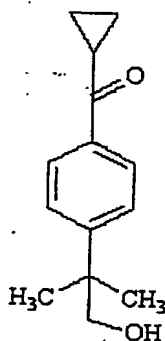
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Sheet 03 of 03

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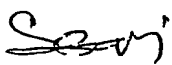
16 DEC 2002

**DUPLICATE**



FORMULA III

For Ranbaxy Laboratories Limited

  
(Sushil Kumar Patawari)  
Company Secretary

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